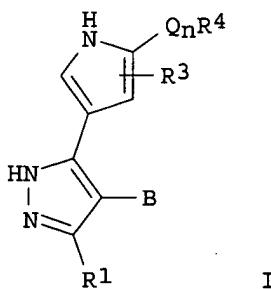


ANSWER 3 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2003:117819 CAPLUS
 DOCUMENT NUMBER: 138:170230
 TITLE: Preparation of pyrazolylpyrrolecarboxamides as kinase inhibitors
 INVENTOR(S): Hale, Michael Robin; Janetka, James Walter; Maltais, Francois; Tang, Qing
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 71 pp.
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011855	A2	20030213	WO 2002-US24725	20020802
WO 2003011855	A3	20030925		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003144337	A1	20030731	US 2002-212294	20020802
US 6750239	B2	20040615		
EP 1423382	A2	20040602	EP 2002-756943	20020802
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005500353	T2	20050106	JP 2003-517047	20020802
US 2004209935	A1	20041021	US 2004-837848	20040503
PRIORITY APPLN. INFO.:			US 2001-309865P	P 20010803
			US 2002-212294	A3 20020802
			WO 2002-US24725	W 20020802

OTHER SOURCE(S): MARPAT 138:170230
 GI



AB Title compds. [I; B = substituted Ph; Q = (substituted) alkylidene which may contain ≤ 2 CO, COCO, CONR₇, CO₂, etc., units; n = 0, 1; R₁ = H, R, F, cyano, N(R₇)₂, OR₇, NR₇CONR₇, NR₇SO₂R₇, etc.; R₃ = H, R, OR, N(R₇)₂, F, cyano; R₄ = (CH₂)_yR₆, N(R₅)₂, etc.; R = (substituted) aliphatic, aryl, heteroaryl, heterocycl; R₅ = R, (CH₂)_yR₆, R₇, COR₇, CON(R₇)₂, SO₂R₇, etc.; y = 0-6; R₆ = H, R, (CH₂)_yR, OH, OR, CO₂R, OR₇, SR₇, COR₇, cyano, etc.; R₇ = H, (substituted) aliphatic, N(R₇)₂ = 5-8 membered heterocycl, heteroaryl], were prepared Thus, to give 4-[4-(4-aminomethyl-3-

chlorophenyl)-1H-pyrazol-3-yl]-1H-pyrrole-2-carboxylic acid [1-(3-chloro-4-fluorophenyl)-2-hydroxyethyl]amide (preparation given) was stirred with MeCHO, 4 Å mol. sieves, and Py.BH3 in MeOH to give 4-[4-(3-chloro-4-ethylaminomethylphenyl)-1H-pyrazol-3-yl]-1H-pyrrole-2-carboxylic acid [1-(3-chloro-4-fluorophenyl)-2-hydroxyethyl]amide. I inhibited ERK2 kinase with $K_i < 1 \mu\text{M}$. I are useful for treating e.g. **cancer**, inflammatory disorders, restenosis, and cardiovascular **disease**.